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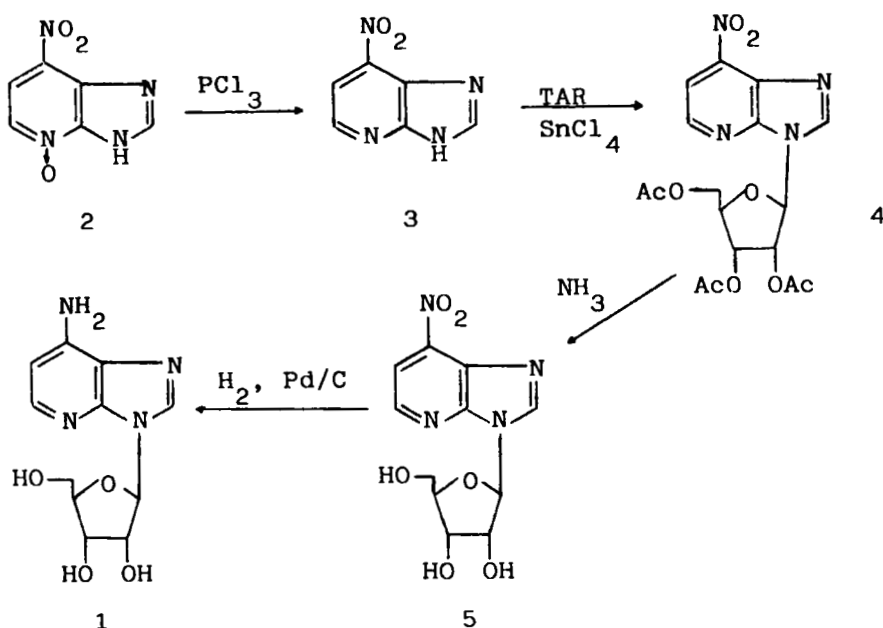
IMPROVED SYNTHESIS AND CYTOSTATIC ACTIVITY
OF 1-DEAZAADENOSINE.

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Summary: A more convenient synthetic route to 1-deazaadenosine starting from 7-nitroimidazo[4,5-b]pyridine 4-oxide is reported. 1-Deazaadenosine showed a good cytostatic activity in vitro.

The adenosine analogue 1-deazaadenosine (1) showed to have a wide spectrum of biological properties. Recent our studies pointed out its activity as inhibitor of blood platelet aggregation¹ and of adenosine deaminase,² and as agonist of the adenosine receptors.³ Furthermore Japanese authors have reported, without providing data, that 1 shows antileukemic activity.⁴ Several syntheses of 1 have been reported and the most convenient one appears to be that described by Itoh and co-workers which have obtained in six steps the title compound in a 25% overall yield, starting from imidazo[4,5-b]pyridine 4-oxide.⁴ Now we wish to report a more convenient synthetic route to 1 starting from 7-nitroimidazo[4,5-b]pyridine 4-oxide (2), which may be easily obtained by nitration of imidazo[4,5-b]pyridine 4-oxide.¹ The synthesis was carried out by the sequence shown in the Scheme 1.



Scheme 1

The present route for the synthesis of 1-deazaadenosine, with an overall yield of 40%, involves a minor number of steps and represents an improvement in yield and procedure respect to that described by Itoh and coworkers.

1-Deazaadenosine showed good activity in vitro as inhibitor of HeLa, KB and P388 leukemia cell lines growth (ID_{50} , 0.3-1.2 μM).

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